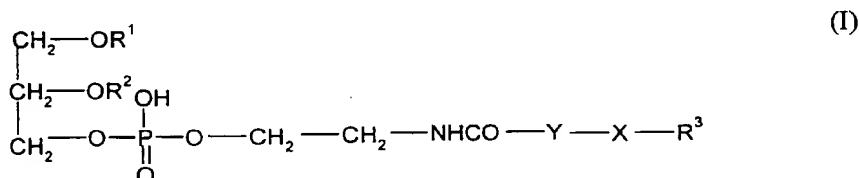


CLAIMS

1. Conjugates of lipids and basic, membrane disturbing peptides which are compounds of formula



wherein R^1 and R^2 are a hydrocarbyl moiety of a straight-chain or branched-chain, saturated or unsaturated aliphatic carboxylic acid or a phospholipid moiety, R^3 is a basic, membrane disturbing peptide with a reversed amide backbone, Y is C_{2-10} alkylene, X is $-C(O)-NH-$ or $-S-S-$ and salts thereof.

2. The compounds of claim 1 wherein R^1 and R^2 independently are an acyl moiety of a C_{12-20} carboxylic acid.

3. The compounds of claims 1 or 2 wherein R^1 and R^2 are independently selected from lauroyl, palmitoyl, stearoyl and oleoyl.

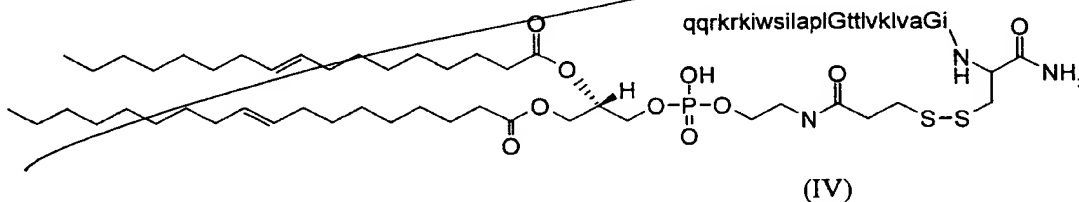
4. The compounds of claims 1 - 3 wherein X is $-S-S-$.

5. The compounds of claims 1 - 4 wherein R^3 is Gln-Gln-Arg-Lys-Arg-Lys-Ile-Trp-Ser-Ile-Leu-Ala-Pro-Leu-Gly-Thr-Thr-Leu-Val-Lys-Leu-Val-Ala-Gly-Ile-NH-CH[CONH₂](CH₂)- with a reversed amide backbone or derivatives thereof consisting of at least 50 % D-amino acids.

6. The compounds of claim 1 - 5 wherein R^3 is D-Gln-D-Gln-D-Arg-D-Lys-D-Arg-D-Lys-D-Ile-D-Trp-D-Ser-D-Ile-D-Leu-D-Ala-D-Pro-D-Leu-Gly-D-Thr-D-Thr-D-Leu-D-Val-D-Lys-D-Leu-D-Val-D-Ala-Gly-D-Ile-NH-[CONH₂]-CH-(CH₂)-.

sub a^2

7. The compound according to any of claims 1 - 6, which is



8. The peptide Gln-Gln-Arg-Lys-Arg-Lys-Ile-Trp-Ser-Ile-Leu-Ala-Pro-Leu-Gly-Thr-Thr-Leu-Val-Lys-Leu-Val-Ala-Gly-Ile-Cys-NH₂ with a reversed amide backbone and consisting of at least 50 % D-amino acids or derivatives.
9. The peptide of claim 8 which is D-Gln-D-Gln-D-Arg-D-Lys-D-Arg-D-Lys-D-Ile-D-Trp-D-Ser-D-Ile-D-Leu-D-Ala-D-Pro-D-Leu-Gly-D-Thr-D-Thr-D-Leu-D-Val-D-Lys-D-Leu-D-Val-D-Ala-Gly-D-Ile-D-Cys-NH₂ and/or salts and/or solvates thereof.
10. A composition comprising at least one compound as defined in any one of claims 1-7 and a helper lipid and/or a short chain phospholipid and/or a cationic lipid and optionally an additional transfection reagent.
11. The composition of claim 10 comprising in addition an anionic macromolecule, preferably a polynucleotide.
12. The composition of claims 10 or 11 comprising in addition a polycationic polymer, preferably polyethyleneimine.
13. The composition of claims 10 - 12, wherein the components are in the form of an aqueous or organic solution, an aqueous or organic dispersion, or a liposome or a micelle.
14. Use of a composition as defined in any one of claims 10 - 13 for transfecting a eukaryotic or prokaryotic cell in vivo or in vitro with an anionic macromolecule.
15. Use of a composition as defined in any one of claims 10 - 13 for transfecting a eukaryotic or prokaryotic cell in vivo or in vitro with a polynucleotide.

Sub Q3

sub 24 → 16
17. Use of a compound as defined in any one of claims 1 - 9 for transfecting a cell in vivo or in vitro with a polynucleotide.

17
18. A process for transfecting a cell in vivo or in vitro with an anionic macromolecule, comprising contacting a cell in vivo or in vitro with the anionic macromolecule in the presence of a compound as defined in any of claims 1 - 9.

18
19. A process for transfecting a cell in vivo or in vitro with an anionic macromolecule, comprising contacting a cell in vivo or in vitro with the anionic macromolecule in the presence of a composition as defined in any of claims 10 - 13.

19
20. Use of a compound as defined in any one of claims 1 - 9 for introducing in vivo or in vitro a biologically active molecule into cells.

20
21. Use of a composition as defined in any one of claims 10 - 13 for introducing in vivo or in vitro a biologically active molecule into cells.

21
22. A process for introducing a biologically active anionic molecule into a cell in vivo or in vitro with an anionic macromolecule, comprising contacting a cell in vivo or in vitro with the anionic macromolecule in the presence of a composition as defined in any of claims 10 - 13.

22
23. A process for introducing in vivo or in vitro a biologically active anionic molecule into a cell, comprising contacting a cell in vivo or in vitro with the anionic macromolecule in the presence of a compound as defined in any of claims 1 - 9.

23
24. A process for introducing in vivo or in vitro a biologically active anionic molecule into a cell, comprising contacting in vivo or in vitro a cell with the anionic macromolecule in the presence of a composition as defined in any of claims 10 - 13.

add a6 →

00221 98541600